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Sorensen et al.
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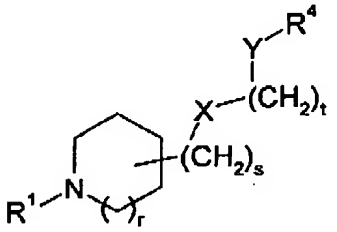
CLAIM LISTING

1. **(Currently Amended)** A compound of the general formula (I):



(I)

wherein

R^1 is

~~C_{1-6}-alkyl, C_{2-6}-alkenyl, C_{2-6}-alkynyl,~~

- ~~which may optionally be substituted with one or more substituents independently selected from R^{11}, wherein R^{11} is halogen, C_{1-6}-alkoxy or hydroxy,~~

C_{3-8}-cycloalkyl[[,]] or C_{5-8}-cycloalkenyl, ~~C_{3-8}-cycloalkyl-C_{1-6}-alkyl, di(C_{3-8}-cycloalkyl)-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{2-6}-alkenyl, C_{3-8}-cycloalkyl-C_{2-6}-alkynyl, C_{5-8}-cycloalkenyl-C_{1-6}-alkyl, C_{5-8}-cycloalkenyl-C_{2-6}-alkenyl, C_{5-8}-cycloalkenyl-C_{2-6}-alkynyl, 4-pyridyl or tetrahydropyranyl~~,

- wherein the cyclic moieties may optionally be substituted with one or more substituents independently selected from R^{12}, wherein R^{12} is C_{1-6}-alkyl, halogen, trifluoromethyl or 2,2,2-trifluoroethyl,

r is ~~0,~~ 1 ~~or 2~~,

s is 0, 1, 2 or 3,

t is 0, 1, 2 or 3,

X is C=O, CHOH or CR^2R^3; wherein R^2 and R^3 independently are hydrogen or C_{1-6}-alkyl,

or X is a bond,

Y is **is selected from the group consisting of oxadiazolyl, thiadiazolyl, or triazolyl,** ~~heteroaryl~~ optionally substituted with one or more substituents independently selected from R^{18},

R^{18} is halogen, nitro, cyano, hydroxy, C_{1-6}-alkyl, C_{1-6}-alkylthio or C_{1-6}-alkoxy[[-]];

R^4 is

(a) C_{1-6}-alkyl, C_{3-8}-cycloalkyl or C_{3-8}-cycloalkenyl, which may optionally be substituted with one or more substituents independently selected from R^{13}, wherein R^{13} is C_{3-8}-cycloalkyl, C_{1-6}-alkoxy, C_{1-6}-alkylthio, cyano, halo-C_{1-6}-alkyl, halo-C_{1-6}-alkoxy, and halogen,

or

(b) aryl, aryl-C_{1-6}-alkyl, aryl-C_{2-6}-alkenyl, or heteroaryl

which may optionally be substituted with one or more substituents independently selected from R^{14}

R^{14} is

- halogen, nitro, cyano, acyl, hydroxy, C_{1-6}-alkyl, C_{1-6}-alkylthio, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, halo-C_{1-6}-alkyl, halo-C_{1-6}-alkoxy, -NR^5R^6, R^5R^6N-C_{1-6}-alkyl-, R^5R^6N-C_{1-6}-alkoxy-, or -O(C=O)NR^5R^6, or wherein two substituents in adjacent positions together form a radical -O-$(CH_2)_{1-3}$-O-, wherein R^5 and R^6 independently are hydrogen, C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl, or R^5 and R^6 together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring,

- a group of the formula

$-(W)_k-A$ wherein

W is $-C_{1-6}$-alkyl-, $-(O)_l-C_{2-6}$-alkenyl-, $-(O)_l-C_{1-6}$-alkyl-O-, $-(CH_2)_n-(C=O)-(CH_2)_m-$, -O- wherein

l is 0 or 1

k is 0 or 1

n and m are independently 0, 1, 2 or 3,

A is

- aryl, aryl-C_{1-6}-alkyl, heteroaryl, heteroaryl-C_{1-6}-alkyl, C_{1-6}-alkyl or C_{3-8}-cycloalkyl wherein the ring moieties optionally may be substituted with one or more substituents independently selected from R^{15}

  R^{15} is

  - halogen, nitro, cyano, hydroxy, C_{1-6}-alkylthio, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkyl, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, halo-C_{1-6}-alkyl, halo-C_{1-6}-alkoxy, $-NR^7R^8$, $R^7R^8N-C_{1-6}$-alkyl-, $R^7R^8N-C_{1-6}$-alkoxy-, or $-O(C=O)NR^7R^8$, or wherein two substituents in adjacent positions together form a radical $-O-(CH_2)_{1-3}-O-$,

  wherein R^7 and R^8 independently are hydrogen, C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl, or R^7 and R^8 together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring,

- NR^9R^{10} wherein R^9 and R^{10} independently are hydrogen, C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl, or R^9 and R^{10} together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring, and the ring may contain further heteroatoms and it may optionally be substituted with one or more substituents independently selected from R^{16},

  wherein R^{16} is C_{1-6}-alkyl, C_{3-8}-cycloalkyl, C_{1-6}-alkanoyl or aryl optionally substituted with one or more substituents independently selected from R^{17},

  wherein R^{17} is halogen, nitro, cyano, hydroxy, or C_{1-6}-alkyl;

as well as any diastereomer or enantiomer or tautomeric form, mixtures of these, or a pharmaceutically acceptable salt thereof.

2. **(Currently Amended)** A compound according to claim 1, wherein R^1 is C_{3-8}-cycloalkyl ~~or C_{1-6}-alkyl~~.

3. **(Currently Amended)** A compound according to claim **Error! Reference source not found.** wherein R^1 is cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl~~, methyl, ethyl, propyl, 1-methylpropyl, 1-ethyl-propyl, isopropyl, or *tert*-butyl~~.

4. **(Currently Amended)** A compound according to claim **Error! Reference source not found.** wherein R^1 is cyclopropyl[[,]] or cyclopentyl~~, 1-ethyl-propyl, or isopropyl~~.

5. **Cancelled**

6.. (Original) A compound according to claim **Error! Reference source not found.** wherein R^1 is cyclopropyl.

7. (Original) A compound according to claim 1, wherein X is a bond.

8. (Original) A compound according to claim 1, wherein s and t together are 0, 1, 2 or 3.

9. **Cancelled**

10. (Original) A compound according to claim 1 wherein s is 0 or 1.

11. (Original) A compound according to claim 10 wherein s is 0.

12. (Original) A compound according to claim 1 wherein t is 0.

13. **Cancelled**

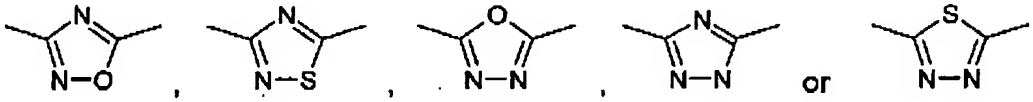
14. **Cancelled**

15. **Cancelled**

16. **Cancelled**

17. **Cancelled**

18. (**Currently Amended**) A compound according to claim 1[[7]], wherein Y is selected from



19. (Original) A compound according to claim 1, wherein R^4 is aryl, aryl-C_{1-6}-alkyl, either of which may optionally be substituted with one or more substituents independently selected from R^{14}, or C_{3-8}-cycloalkyl optionally substituted with one or more substituents independently selected from R^{13}.

20. (Original) A compound according to claim 19 wherein R^4 is aryl optionally substituted with one or more substituents independently selected from R^{14}.

21. (Original) A compound according to claim 19 wherein R^4 is phenyl, biphenylyl, or naphthyl optionally substituted with one or more substituents independently selected from R^{14}.

22. (Original) A compound according to claim 21, wherein R^4 is phenyl optionally substituted with one or more substituents independently selected from R^{14}.

23. (Original) A compound according to claim 1 wherein R^{13} is C_{1-6}-alkyl.

24. (Original) A compound according to claim 1 wherein R^{14} is

halogen, cyano, hydroxy, C_{1-6}-alkyl, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, $-CF_3$, $-OCF_3$, $-NR^5R^6$, R^5R^6N-C_{1-6}-alkyl-, or a group of the formula $-(W)_k$-A.

25. (Original) A compound according to claim 24 wherein R^{14} is

F, Cl, cyano, methyl, ethyl, propyl, butyl, tert-butyl, methyl-sulfonyl, methylsulfonyloxy, methoxy, ethoxy, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, $-CF_3$, $-OCF_3$, $-NR^5R^6$, R^5R^6N-methyl-, or a group of the formula $-(W)_k-A$.

26. (Original) A compound according to claim 25 wherein R^{14} is

F, Cl, cyano, methyl, tert-butyl, methyl-sulfonyl, methoxy, cyclopentyl, cyclohexyl, $-CF_3$, $-OCF_3$, $-NR^5R^6$, R^5R^6N-methyl-, or a group of the formula $-(W)_k-A$.

27. (Original) A compound according to claim 26 wherein R^{14} is a group of the formula $-(W)_k-A$.

28. (Original) A compound according to claim 1, wherein k is 1.

29. (Original) A compound according to claim 1 wherein k is 0.

30. (Original) A compound according to claim 1 wherein W is $-C_{1-6}$-alkyl-, $-(O)_l-C_{1-6}$-alkyl-O-, $-(CH_2)_n-(C=O)-(CH_2)_m-$, or -O-.

31. (Original) A compound according to claim 30 wherein W is $-C_{1-6}$-alkyl- or $-(CH_2)_n-(C=O)-(CH_2)_m-$.

32. (Original) A compound according to claim 31 wherein W is methylene, ethylene, propylene or $-(CH_2)_n-(C=O)-(CH_2)_m-$.

33. (Original) A compound according to claim 1 wherein n is 0 or 1.

34. (Original) A compound according to claim 33 wherein n is 0.

35. **(Currently Amended)** A compound according to **claim 1** ~~**any one of the claims 1 to 34**~~ wherein m is 0 or 1.

36. (Original) A compound according to claim 35 wherein m is 0.

37. (Original) A compound according to claim 1 wherein l is 0.

38. (Original) A compound according to claim 1 wherein A is C_{1-6}-alkyl, aryl or C_{3-8}-cycloalkyl, wherein the ring moieties optionally may be substituted with one or more substituents independently selected from R^{15}, or A is NR^9R^{10}.

39. (Original) A compound according to claim 38 wherein A is methyl, ethyl, phenyl, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl, wherein the ring moieties optionally may be substituted with one or more substituents independently selected from R^{15}, or A is NR^9R^{10}.

40. (Original) A compound according to claim 39 wherein A is phenyl optionally substituted with one or more substituents independently selected from R^{15}.

41. (Original) A compound according to claim 40 wherein A is phenyl.

42. (Original) A compound according to claim 39 wherein A is NR^9R^{10}.

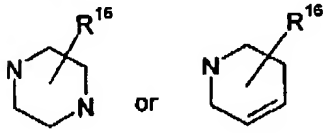
43. (Original) A compound according to claim 1 wherein R^{15} is halogen, nitro, cyano, hydroxy, C_{1-6}-alkylthio, C_{1-6}-alkylsulfonyl, C_{1-6}-alkylsulfonyloxy, C_{1-6}-alkyl, C_{1-6}-alkoxy, C_{3-8}-cycloalkyl, halo-C_{1-6}-alkyl, or halo-C_{1-6}-alkoxy.

44. (Original) A compound according to claim 43 wherein R^{15} is halogen, cyano, hydroxy, CH_3-S-, CH_3CH_2-S-, methylsulfonyl, methylsulfonyloxy, methyl, ethyl, propyl, butyl, isopropyl, methoxy, ethoxy, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl, -CF_3, or -OCF_3.

45. (Original) A compound according to claim 44 wherein R^{15} is halogen, methyl, ethyl, methoxy, ethoxy, $-CF_3$, or $-OCF_3$.

46. (Original) A compound according to claim 1 wherein R^9 and R^{10} together with the nitrogen atom to which they are attached form a 3 to 7 membered, saturated or unsaturated ring, which may be fused to a benzene ring, and the ring may contain further heteroatoms and it may optionally be substituted with one or more substituents independently selected from R^{16}.

47. (Original) A compound according to claim 46 wherein R^9 and R^{10} together with the nitrogen atom to which they are attached form a structure selected from



48. (Original) A compound according to claim 1 wherein R^{16} is methyl, ethyl, 1-ethyl-propyl or phenyl optionally substituted with one or more substituents independently selected from R^{17}.

49. (Original) A compound according to claim 1 wherein R^{17} is halogen.

50. (Original) A pharmaceutical composition comprising, as an active ingredient, at least one compound according to claim 1 together with one or more pharmaceutically acceptable carriers or excipients.

51. (Original) A pharmaceutical composition according to claim 50 in unit dosage form, comprising from about 0.05 mg to about 1000 mg, preferably from about 0.1 mg to about 500 mg and especially preferred from about 0.5 mg to about 200 mg of the compound.

52. (Original) A pharmaceutical composition according to claim 50 wherein the compound exhibits histamine H3 antagonistic activity or histamine H3 inverse agonistic activity.

53. (Withdrawn) A method for treating diseases and disorders in which an inhibition of the H3 histamine receptor has a beneficial effect comprising administering to a subject in need thereof a therapeutically effective amount of a compound according to claim 1.

54. (Withdrawn) A method for the reduction of body weight, comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

55. (Withdrawn) A method for the treatment of overweight or obesity, comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

56. (Withdrawn) A method for the suppression of appetite or for satiety induction comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

57. (Withdrawn) A method for the prevention and/or treatment of disorders and diseases related to overweight or obesity comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

58. (Withdrawn) A method for the prevention and/or treatment of eating disorders such as bulimia and binge eating comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

59. (Withdrawn) A method for the treatment of IGT comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

60. (Withdrawn) A method for the treatment of type 2 diabetes comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1

61. (Withdrawn) A method for the delaying or prevention of the progression from IGT to type 2 diabetes comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

62. (Withdrawn) A method for the delaying or prevention of the progression from non-insulin requiring type 2 diabetes to insulin requiring type 2 diabetes comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1

63. (Withdrawn) A method for the treatment of diseases and disorders in which a stimulation of the H3 histamine receptor has a beneficial effect comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

64. (Withdrawn) A compound according to Claim 1 exhibiting histamine H3 agonistic activity.

65. (Withdrawn) A method of treating allergic rhinitis, ulcer or anorexia comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

66. (Withdrawn) A method for the treatment of Alzheimer's disease, narcolepsy or attention deficit disorder comprising administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1.

67. (Withdrawn) The method according to claim 53 wherein the therapeutically effective amount of the compound is in the range of from about 0.05 mg to about 2000 mg, preferably from about 0.1 mg to about 1000 mg and especially preferred from about 0.5 mg to about 500 mg per day.